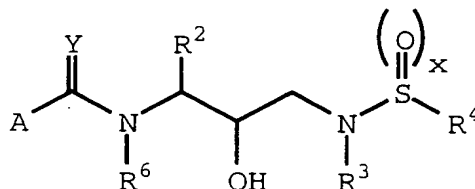


WHAT IS CLAIMED IS:

1. A compound represented by the formula:



- 5
- or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein
- 10 R² is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo, nitro, cyano, CF₃, -OR⁹, and -SR⁹, wherein
- 15 R⁹ is a radical selected from the group consisting of hydrogen and alkyl;
- R³ is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl,
- 20 heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radicals, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
- 25 heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;
- 30 R⁴ is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl, heteroaralkyl, aminoalkyl or

mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

R^6 is a hydrogen or alkyl radical;

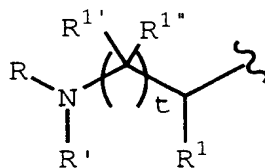
x is 1 or 2;

t is 0 or 1; and

15

Y is O or S; and

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, cycloalkylalkoxy, cycloalkylalkyl, aralkyl, aryl, aryloxy, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heterocycloalkylalkoxy, heteroaralkyl, heteroaralkoxy, heteroaryloxy, heteroaryl, alkenyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said amino radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical; or is represented by the formula



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wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, carboxyalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkyl radicals; or wherein said aminocarbonyl or aminoalkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' is a radical as defined for R³ or R"SO₂-, wherein R" is a radical as defined for R³; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R¹ is a hydrogen, -CO₂CH₃, -CH₂CO₂CH₃, -CO₂H, -CH₂CO₂H, -CH₂CH₂CONH₂, -CH₂CONH₂, -CONH₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONHCH₃, -CONH(CH₃)₂, -CH₂SO₂NH₂, -CH₂CH₂SO₂NH₂, -CH₂S[O]CH₃, -CH₂S[O]₂CH₃, -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]₂CH₃), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl,

heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

each of $R^{1'}$ and $R^{1''}$ are independently a radical as defined for R^1 ; or one of $R^{1'}$ and $R^{1''}$ together with R^1 and the carbon atoms to which R^1 , $R^{1'}$ and $R^{1''}$ are attached, form a cycloalkyl radical.

2. The compound of Claim 1 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R^2 is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and $-OR^9$, wherein R^9 is a radical selected from the group consisting of hydrogen and alkyl;

R^3 is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radicals, wherein said substituents are selected from the group consisting of alkyl, aralkyl, cycloalkyl and cycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

R^4 is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

R⁶ is a hydrogen or alkyl radical;

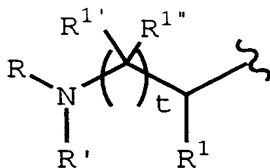
x is 1 or 2;

5

t is 0 or 1; and

Y is O or S; and

- 10 A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, cycloalkylalkoxy, cycloalkylalkyl, aralkyl, aryl, aryloxy, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heterocycloalkylalkoxy, heteroaralkyl, heteroaralkoxy, heteroaryloxy, heteroaryl,
- 15 hydroxyalkyl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said amino radical is disubstituted,
- 20 said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl radical; or is represented by the formula



25

- wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aralkanoyl, aroyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, cycloalkyl, aralkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl
- 30
- 35 radical, wherein the substituents are selected from the

group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkyl radicals; or wherein said aminocarbonyl or aminoalkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' is a hydrogen, alkyl or aralkyl radical or R"SO₂-, wherein R" is a radical as defined for R³; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R¹ is a hydrogen, -CO₂CH₃, -CH₂CO₂CH₃, -CO₂H, -CH₂CO₂H, -CH₂CH₂CONH₂, -CH₂CONH₂, -CONH₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONHCH₃, -CONH(CH₃)₂, -CH₂SO₂NH₂, -CH₂CH₂SO₂NH₂, -CH₂S[O]CH₃, -CH₂S[O]₂CH₃, -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]₂CH₃), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

each of R¹' and R¹" are independently a radical as defined for R¹; or one of R¹' and R¹" together with R¹ and the carbon atoms to which R¹, R¹' and R¹" are attached, form a cycloalkyl radical.

3. The compound of Claim 2 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R² is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted

with a radical selected from the group consisting of alkyl, halo and -OR⁹, wherein R⁹ is a radical selected from the group consisting of hydrogen and alkyl;

5 R³ is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or
10 dialkyl substituted aminoalkyl radical;

R⁴ is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,
15 aryl, aralkyl, aralkenyl or heteroaralkyl radical;

R⁶ is a hydrogen or alkyl radical;

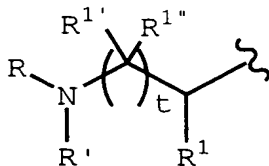
x is 1 or 2;

20

t is 0 or 1; and

Y is O or S; and

25 A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of
30 alkyl and aralkyl radicals; or is represented by the formula



wherein R is a hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, carboxyalkanoyl, alkanoyl, aroyl, heteroaroyl, alkyl, aralkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

R' is a hydrogen, alkyl or aralkyl radical or R"SO₂-, wherein R" is a radical as defined for R³; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R¹ is a hydrogen, -CO₂CH₃, -CH₂CO₂CH₃, -CO₂H, -CH₂CO₂H, -CH₂CH₂CONH₂, -CH₂CONH₂, -CONH₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONHCH₃, -CONH(CH₃)₂, -CH₂SO₂NH₂, -CH₂CH₂SO₂NH₂, -CH₂S[O]CH₃, -CH₂S[O]₂CH₃, -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]₂CH₃), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl and aralkyl radicals; and

R^{1'} is a hydrogen, alkyl or aralkyl; and R^{1''} is a hydrogen, alkyl, -CO₂CH₃ or -CONH₂; or one of R^{1'} and R^{1''} together with R¹ and the carbon atoms to which R¹, R^{1'} and R^{1''} are attached, form a cycloalkyl radical.

4. The compound of Claim 3 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R² is an alkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR⁹, wherein R⁹ is a radical selected from the group consisting of hydrogen and alkyl;

R³ is a hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or dialkyl substituted aminoalkyl radical;

R⁴ is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

R⁶ is a hydrogen or alkyl radical;

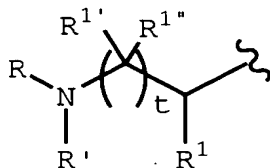
x is 1 or 2;

t is 0 or 1; and

Y is O or S; and

20

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals; or is represented by the formula



30

wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aroyl, heteroaroyl, alkyl, aralkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl

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radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

R' is a hydrogen, alkyl or aralkyl radical or R"SO₂-,
5 wherein R" is a radical as defined for R³; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R¹ is a hydrogen, -CO₂H, -CH₂CO₂H, -CH₂CH₂CONH₂,
10 -CH₂CONH₂, -CONH₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂,
-CONHCH₃, -CONH(CH₃)₂, -CH₂SO₂NH₂, -CH₂CH₂SO₂NH₂, alkyl,
hydroxyalkyl, cyanoalkyl, alkynyl, cycloalkylalkyl,
alkylthioalkyl, aralkyl or heteroaralkyl radical; and

15 R^{1'} is a hydrogen, alkyl or aralkyl; and R^{1''} is a hydrogen, alkyl, -CO₂CH₃ or -CONH₂; or one of R^{1'} and R^{1''} together with R¹ and the carbon atoms to which R¹, R^{1'} and R^{1''} are attached, form a cycloalkyl radical;

20 with the proviso that alkyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical containing from one to eight carbon atoms; alkenyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one double bond and
25 containing from two to eight carbon atoms; alkynyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one triple bond and containing from two to ten carbon atoms; and cycloalkyl, alone or in combination, is a hydrocarbon ring containing
30 from three to eight carbon atoms.

5. The compound of Claim 4 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

35 R² is an alkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR⁹,

wherein R⁹ is a radical selected from the group consisting of hydrogen and alkyl;

R³ is a hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or dialkyl substituted aminoalkyl radical;

R⁴ is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

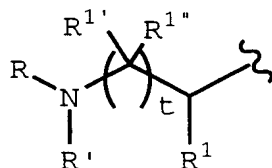
R⁶ is a hydrogen or alkyl radical;

x is 1 or 2;

t is 0 or 1; and

Y is O or S; and

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals; or is represented by the formula



wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aroyl, heteroaroyl, alkyl, aralkyl,

aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

5

R' is a hydrogen, alkyl or aralkyl radical or R"SO₂-, wherein R" is a radical as defined for R³; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

10

R¹ is a hydrogen, -CO₂H, -CH₂CO₂H, -CH₂CH₂CONH₂, -CH₂CONH₂, -CONH₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONHCH₃, -CONH(CH₃)₂, -CH₂SO₂NH₂, -CH₂CH₂SO₂NH₂, alkyl, hydroxyalkyl, cyanoalkyl, alkynyl, cycloalkylalkyl, alkylthioalkyl, aralkyl or heteroaralkyl radical; and

15

R^{1'} is a hydrogen, alkyl or aralkyl; and R^{1''} is a hydrogen, alkyl, -CO₂CH₃ or -CONH₂; or one of R^{1'} and R^{1''} together with R¹ and the carbon atoms to which R¹, R^{1'} and R^{1''} are attached, form a cycloalkyl radical;

20

with the proviso that alkyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical containing from one to five carbon atoms; alkenyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one double bond and containing from two to five carbon atoms; alkynyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one triple bond and containing from two to five carbon atoms; and cycloalkyl, alone or in combination, is a hydrocarbon ring containing from three to eight carbon atoms; and

25

30

with the proviso that when R² is cycloalkylalkyl and t is 0, R' is a group other than alkoxycarbonyl.

35

6. The compound of Claim 5 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R² is butyl, cyclohexylmethyl, benzyl, 4-fluorobenzyl or naphthylmethyl;

- 5 R³ is methyl, ethyl, propyl, butyl, pentyl, hexyl, iso-butyl, iso-amyl, 3-methoxypropyl, 3-methylthiopropyl, 4-methylthiobutyl, 4-methylsulfonylbutyl, 2-dimethylaminoethyl, 2-(1-morpholino)ethyl, 4-hydroxybutyl, allyl, propargyl, cyclohexylmethyl,
10 cyclopropylmethyl, phenyl, benzyl, 4-fluorobenzyl, 4-methoxybenzyl, 1-phenylethyl, 2-phenylethyl, naphthylmethyl, 3-pyridylmethyl or 4-pyridylmethyl;

- R⁴ is methyl, ethyl, propyl, butyl, ethenyl,
15 chloromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, naphthyl, chlorophenyl, fluorophenyl, hydroxyphenyl, methylphenyl, methoxyphenyl, ethoxyphenyl, methylthiophenyl, methylsulfoxyphenyl, methylsulfonylphenyl, acetamidophenyl,
20 methoxycarbonylphenyl, dimethylaminophenyl, nitrophenyl, trifluoromethylphenyl, benzyl, 2-phenylethenyl or thienyl;

R⁶ is hydrogen;

25

x is 2;

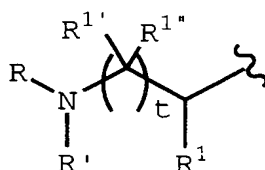
t is 0 or 1; and

- 30 Y is O; and

- A is methyl, cyclohexyl, cyclopentyl, cycloheptyl, 1,2,3,4-tetrahydronaphthyl, naphthyl, quinolinyl, indolyl, pyridyl, methylpyridyl, furanyl, thiophenyl,
35 oxazolyl, thiazolyl, phenyl, methylphenyl, ethylphenyl, dimethylphenyl, iso-propylphenyl, chlorophenyl, hydroxyphenyl, methoxyphenyl, methylsulfonylphenyl, methylsulfonylmethylphenyl, carboxyphenyl,

aminocarbonylphenyl, methylhydroxyphenyl,
 methylnitrophenyl, methylaminophenyl, methyl-N,N-
 dimethylaminophenyl, t-butoxy, benzyloxy, pyridylmethoxy,
 3-propenoxy, hydroxypyridylmethoxy, aminopyridylmethoxy,
 5 pyrimidinylmethoxy, N-oxo-pyrimidinylmethoxy,
 thiazolylmethoxy, tetrahydrothiophenoxy, 1,1-
 dioxotetrahydrothiophenoxy, tetrahydrofuranoxo,
 methylamino, benzylamino or isopropylamino; or is
 represented by the formula

10



wherein R is hydrogen, acetyl, phenoxyacetyl,
 methoxyacetyl, naphthaloxyacetyl, succinoyl, 2-
 15 methylpropionoyl, 2-hydroxypropionoyl, t-butoxycarbonyl,
 benzyloxycarbonyl, methoxybenzyloxycarbonyl,
 aminocarbonyl, quinolinylcarbonyl, N-methylglycinyl or
 N,N-dimethylglycinyl;

20 R' is hydrogen, benzyl or methyl; or R and R' together
 with the nitrogen to which they are attached form
 pyrrolyl;

R1 is hydrogen, -CO₂H, -CH₂CO₂H, -CH₂CH₂CONH₂, -CH₂CONH₂,
 25 -CONH₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONHCH₃,
 -CONH(CH₃)₂, -CH₂SO₂NH₂, -CH₂CH₂SO₂NH₂, methyl, ethyl,
 propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-
 butyl, 3-methylbutyl, cyclohexylmethyl, benzyl,
 hydroxybenzyl, imidazolyl, imidazolylmethyl, cyanomethyl,
 30 methylthiomethyl, propargyl or hydroxyethyl; and

R1' is hydrogen, methyl, ethyl, propyl, isopropyl, butyl,
 isobutyl, benzyl, phenylethyl, phenylpropyl, phenylbutyl
 or 4,4-diphenylbutyl; and R1'' is hydrogen, methyl,
 35 -CO₂CH₃ or -CONH₂; or one of R1' and R1'' together with R1

and the carbon atoms to which R¹, R^{1'} and R^{1''} are attached, form cyclobutyl, cyclopentyl or cyclohexyl;

with the proviso that when R² is cyclohexylmethyl and t
5 is 0, R' is a group other than t-butoxycarbonyl.

7. The compound of Claim 1 which is:

Phenylmethyl[2R-hydroxy-3-[(3-
10 methylbutyl)(methanysulfonyl) amino]-1S-
(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-
methanysulfonyl) amino]-1S-
15 (phenylmethyl)propyl]carbamate;

N1-[2R-hydroxy-3-[(3-methylbutyl)(methanysulfonyl) amino]-
1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino]
butanediamide;

20 N1-[2R-hydroxy-3-[(3-methylbutyl)(methanysulfonyl) amino]-
1S-(phenylmethyl)propyl]-2S-
[(phenylmethyloxycarbonyl) amino] butanediamide;

25 N1-[2R-hydroxy-3[(3-methylbutyl)(phenylsulfonyl) amino]-
1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino]
butanediamide;

30 N1-[2R-hydroxy-3[(3-methylbutyl)(phenylsulfonyl) amino]-
1S-(phenylmethyl)propyl]-2S-
[(phenylmethyloxycarbonyl) amino] butanediamide;

2S-[[(dimethylamino) acetyl] amino]-N-[2R-hydroxy-3-[(3-
methyl- butyl)(phenylsulfonyl) amino]-1S-
35 (phenylmethyl)propyl]-3,3-dimethylbutaneamide;

2S-[[(methylamino)acetyl]amino]-N-[2R-hydroxy-3-[(3-methyl-butyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3,3-dimethylbutaneamide;

- 5 N1-[2R-hydroxy-3-[(3-methylbutyl)(phenyl-sulfonyl)amino]-N4-methyl-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino]butanediamide;

- 10 [3-[[2-hydroxy-3-[N-(3-methylbutyl)-N-(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-, (4-methoxyphenyl)methyl ester, [1S-[1R*(S*),2S*]]-;

- 15 Carbamic acid, [2R-hydroxy-3-[(4-hydroxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3(S)-1,1-dioxotetrahydrothiophen-3-yl-ester;

- 20 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3(S)-1,1-dioxotetrahydrothiophen-3-yl-ester;

- 25 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrothiophen-3-yl-ester;

- Carbamic acid, [2R-hydroxy-3-[(4-hydroxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrothiophen-3-yl-ester;

- 30 Carbamic acid, [2R-hydroxy-3-[(4-hydroxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrofuran-3-yl-ester;

- 35 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrofuran-3-yl-ester;

Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 5-(thiazolyl)methyl ester;

- 5 Carbamic acid, [2R-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 5-(thiazolyl)methyl ester;

- 10 Benzamide, N-[2R-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-methyl;

- 15 Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 3-(6-aminopyridyl)methyl ester;

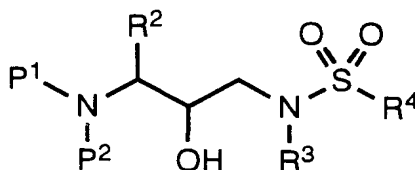
- 20 Carbamic acid, [2R-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 3-(6-aminopyridyl)methyl ester;

- Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 3-(6-hydroxypyridyl)methyl ester;

- 25 Carbamic acid, [2R-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 5-pyrimidylmethyl ester; or

- 30 Benzamide, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-methyl.

8. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

5 each of P¹ and P² independently represent hydrogen, alkoxy-carbonyl, aralkoxy-carbonyl, alkyl-carbonyl, cycloalkyl-carbonyl, cycloalkylalkoxy-carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy-carbonyl, aryloxy-carbonylalkyl, aryloxyalkanoyl, 10 heterocyclyl-carbonyl, heterocyclyloxy-carbonyl, heterocyclylalkanoyl, heterocyclylalkoxy-carbonyl, heteroaralkanoyl, heteroaralkoxy-carbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, 15 aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, 20 heterocycloalkyl and heterocycloalkyl radicals; or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

25 R² is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, nitro, cyano, CF₃, -OR⁹, -SR⁹, wherein R⁹ is a 30 hydrogen or alkyl radical;

R³ is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, 35 aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,

heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where the aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a
5 heterocycloalkyl or a heteroaryl radical; and

R⁴ is a radical as defined by R³ except for hydrogen.

9. The compound of Claim 8, wherein each of P¹ and
10 P² independently represent a hydrogen, alkoxy carbonyl, aralkyloxy carbonyl, heteroaralkoxy carbonyl, aroyl, heteroaroyl, alkanoyl or cycloalkanoyl radical;

R² is a cycloalkylalkyl, aralkyl or alkyl radical;
15

R³ is an alkyl, cycloalkyl or cycloalkylalkyl radical;
and

R⁴ is an aryl, alkyl, heteroaryl or aryl radical.
20

10. The compound of Claim 9, wherein P¹ and P² independently represent 3-pyridylmethyloxy carbonyl, 3-pyridylmethyloxy carbonyl N-oxide, 4-pyridylmethyloxy carbonyl, 4-pyridylmethyloxy carbonyl N-oxide, 5-pyrimidylmethyloxy carbonyl, tert-butyl oxy carbonyl, allyl oxy carbonyl, 2-propyloxy carbonyl, benzyloxy carbonyl, cycloheptyl carbonyl, cyclohexyl carbonyl, cyclopentyl carbonyl, benzoyl, 4-pyridyl carbonyl, 2-methyl benzoyl, 3-methyl benzoyl, 4-methyl benzoyl, 2-chlorobenzoyl, 2-ethyl benzoyl, 2,6-dimethyl benzoyl, 2,3-dimethyl benzoyl, 2,4-dimethyl benzoyl or 2,5-dimethyl benzoyl;
25
30

R² is benzyl, cyclohexylmethyl, 2-naphthylmethyl, para-fluorobenzyl, para-methoxybenzyl, isobutyl or n-butyl;
35

R³ is isobutyl, isoamyl, cyclohexyl, cyclohexylmethyl, n-butyl or n-propyl; and

R⁴ is phenyl, para-methoxyphenyl, para-cyanophenyl, para-chlorophenyl, para-hydroxyphenyl, para-nitrophenyl, para-fluorophenyl, 2-naphthyl, 3-pyridyl, 3-pyridyl N-oxide,
5 4-pyridyl or 4-pyridyl N-oxide;

with the proviso that when R² is cyclohexylmethyl, each of P¹ and P² independently represent a group other than tert-butyloxycarbonyl.

10

11. A compound of Claim 8 which is:

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1S-
15 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-methoxyphenyl sulfonyl)amino]-1S-
20 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-fluorophenyl sulfonyl)amino]-1S-
(phenylmethyl)propyl]carbamate;

25 Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-nitrophenylsulfonyl)amino]-1S-
(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-chlorophenyl sulfonyl)amino]-1S-
30 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-acetamidophenyl sulfonyl)amino]-1S-
35 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-aminophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 5 Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-methoxyphenyl sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 10 Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-fluorophenyl sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 15 Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-nitrophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;
- Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-chlorophenyl sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 20 Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-methoxyphenyl sulfonyl)amino]-1S-(4-fluorophenylmethyl)propyl]carbamate;

- 25 Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-fluorophenyl sulfonyl)amino]-1S-(4-fluorophenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(butyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 30 Phenylmethyl[2R-hydroxy-3-[(cyclohexylmethyl)(phenyl sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 35 Phenylmethyl[2R-hydroxy-3-[(cyclohexyl)(phenyl sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(propyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

- 5 Pentanamide, 2S-[[(dimethylamino) acetyl] amino] -N-2R-
hydroxy-3-[(3-methylpropyl) (4-
methoxyphenylsulfonyl) amino] -1S-(phenylmethyl) propyl] -3S-
methyl;
- 10 Pentanamide, 2S-[[(methylamino) acetyl] amino] -N-2R-
hydroxy-3-[(4-methylbutyl) (phenylsulfonyl) amino] -1S-
(phenylmethyl) propyl] -3S-methyl;
- 15 Pentanamide, 2S-[[(dimethylamino) acetyl] amino] -N-2R-
hydroxy-3-[(4-methylbutyl) (phenylsulfonyl) amino] -1S-
(phenylmethyl) propyl] -3S-methyl;
- [2R-hydroxy-3-[[(4-methoxyphenyl) sulfonyl] (2-
methylpropyl) amino] -1S-(phenylmethyl) propylamine;
- 20 2R-hydroxy-3-[(2-methylpropyl) (4-
hydroxyphenyl) sulfonyl] amino-1S-
(phenylmethyl) propylamine;
- [2R-hydroxy-3-[(phenylsulfonyl) (3-methylbutyl) amino] -1S-
(phenylmethyl) propylamine;
- 25 [2R-hydroxy-3-[(phenylsulfonyl) (2-methylpropyl) amino] -1S-
(phenylmethyl) propylamine;
- [2R-hydroxy-3-[(phenylsulfonyl) (cyclohexylmethyl) amino] -
1S-(phenylmethyl) propylamine;
- 30 [2R-hydroxy-3-[(phenylsulfonyl) (cyclohexyl) amino] -1S-
(phenylmethyl) propylamine;
- 35 4-Pyridinecarboxamide, N-[2R-hydroxy-3-[[(4-
methoxyphenyl) sulfonyl] (2-methylpropyl) amino] -1S-
(phenylmethyl) propyl];

Benzamide, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2,6-dimethyl;

- 5 Benzamide, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-methyl;

- 10 Benzamide, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-ethyl;

- 15 Benzamide, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-chloro;

- 20 Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

- 25 Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester, N-oxide;

- 30 Carbamic acid, [2R-hydroxy-3-[[phenylsulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

- 35 Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-, 4-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-,

4-pyridylmethyl ester, N-oxide;

Carbamic acid, [2R-hydroxy-3-[[[4-chlorophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-nitrophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester; or

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-pyrimidylmethyl ester.

12. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

13. A pharmaceutical composition comprising a compound of Claim 8 and a pharmaceutically acceptable carrier.

14. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 1.

15. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 8.

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16. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 12.

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17. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 13.

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18. Method of preventing replication of a retrovirus suspected of being present in a solution comprising administering an effective amount of a compound of Claim 1.

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19. Method of preventing replication of a retrovirus suspected of being present in a solution comprising administering an effective amount of a compound of Claim 8.